What is claimed is:

1. A compound of the formula:

wherein

 R^1 and R^{12} together with X and Y form a phenyl ring and X is C and Y is C, or R^1 is hydrogen,

$$R^2$$
— $(NH)_n$ — C — NH —, or O

$$\begin{array}{c|cccc} O & R^{14} & O \\ \parallel & \parallel & \parallel \\ R^2 & C-NH-CH-C-NH- \end{array}; \quad \text{and} \quad$$

R¹² is hydrogen, with either X and Y being each C and the bond between X and Y being a double bond, or with X and Y being each CH and the bond between X and Y being a single bond;

CCCECEE THEYST

R² is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

R¹⁴ is alkyl having from 1 to 5 carbon atoms;

n is 0 or 1; and

Q is

$$R^5$$
 R^4 or R^{13} R^{13}

wherein R³, R⁴ and R⁵ are independently hydrogen, halo, alkyl having from 1 to 4 carbon atoms, hydroxy or alkoxy having from 1 to 4 carbon atoms, wherein when R⁴ is not hydrogen, R³ and R⁵ are both hydrogen; and

R⁶ is hydrogen, alkyl having from 1 to 3 carbon atoms, alkoxy having from 1 to 3 carbon atoms, phenoxy, or halo;

 R^{11} and R^{13} are each independently hydrogen, alkyl having 3 or 4 carbons, cycloalkyl having 5 or 6 carbon atoms, or R^{11} and R^{13} are both phenyl;

R⁷ is O or NH;

R⁸ is hydrogen or methyl;

R⁹ is

R¹⁰ is hydrogen or methyl;

p is 0 or 1;

m is 0, 1, 2, or 3; and

R¹⁷ is hydrogen or lower alkyl.

A compound of the formula: 2.

$$R^{12}$$
 $(CH_2)_p$ $(CH_2)_m$ $(CH_2)_m$

IA

DOGEGOEE DEEVEL

R¹ and R¹² together with X and Y form a phenyl ring and X is C and Y is C, or R1 is hydrogen,

$$R^2$$
— $(NH)_n$ — C — NH —, or O

R¹² is hydrogen, with either X and Y being each C and the bond between X and Y being a double bond, or with X and Y being each CH and the bond between X and Y being a single bond;

R² is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

R¹⁴ is alkyl having from 1 to 5 carbon atoms;

n is 0 or 1;

R³, R⁴ and R⁵ are independently hydrogen, halo, alkyl having from 1 to 4 carbon atoms, hydroxy, or alkoxy having from 1 to 4 carbon atoms; wherein when R⁴ is not hydrogen, R³ and R⁵ are both hydrogen;

R⁷ is O or NH;

R⁸ is hydrogen or methyl;

R⁹ is

$$\begin{array}{c|cccc} CH-R^{17} & CH_2 & \\ \hline C & CH_2 & \\ \hline C & Or & C \\ \hline \end{array}$$

R¹⁰ is hydrogen or methyl;

p is 0 or 1;

m is 0, 1, 2, or 3; and

Z is

R¹⁷ is hydrogen or lower alkyl.

3. The compound of claim 2, wherein X and Y are each CH and the bond between X and Y is a single bond; Z is

R⁷ is O;

R1 is

R² is alkyl; and

R¹⁰ and R¹² are both hydrogen.

- 4. The compound of claim 3, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Cit-Trp-Lys-NH₂.
 - 5. The compound of claim 2, wherein Z is

R⁷ is NH;

R¹ is hydrogen,

$$R^2$$
— $(NH)_n$ — C — NH —, or O

R² is alkyl; and

 R^{10} and R^{12} are both hydrogen; and n and R^{14} are as above.

6. The compound of claim 5, wherein X and Y are each CH and the bond between X and Y is a single bond; n is 0; and R^9 is

- 7. The compound of claim 6, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-(2)Nal-Lys-NH₂.
- 8. The compound of claim 6, penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-N-methyl(2)Nal-Lys-NH₂.
 - 9. The compound of claim 5, wherein R^9 is

and R¹⁷ is as above.

- 10. The compound of claim 9, wherein X and Y are each CH and the bond between X and Y is a single bond; and one of R^3 , R^4 and R^5 is hydrogen, halo or alkyl and the remainder are hydrogen.
- 11. The compound of claim 10, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-Trp-Lys-NH₂.

- 12. The compound of claim 10, Penta-cyclo(Asp-Lys)-Asp-4- MeApc-(D)Phe-Arg-Trp-Lys-NH₂.
- 13. The compound of claim 10, Penta-cyclo(Glu-Lys)-Glu-Apc-(D)Phe-Arg-Trp-Lys-NH₂.
- 14. The compound of claim 10, Penta-cyclo(Asp-Orn)-Asp-Apc-(D)Phe-Arg-Trp-Orn-NH₂.
- 15. The compound of claim 10, Penta-cyclo(Asp-Dbr)-Asp-Apc-(D)Phe-Arg-Trp-Dbr-NH₂.
- 16. The compound of claim 10, Penta-cyclo(Asp-Dpr)-Asp-Apc-(D)Phe-Arg-Trp-Dpr-NH₂.
- 17. The compound of claim 10, Ac-cyclo(Asp-Dpr)-Asp-Apc-(D)Phe-Arg-Trp-Dpr-NH₂.
- 18. The compound of claim 9, wherein X and Y are each CH and the bond between X and Y is a single bond; one of R³, R⁴ and R⁵ is alkoxy, and the remainder are hydrogen; and n is 0.
- 19. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-4-MeOApc-(D)Phe-Arg-Trp-Lys-NH₂.
- 20. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-4-EtOApc-(D)Phe-Arg-Trp-Lys-NH₂.
- 21. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-4-iPrOApc-(D)Phe-Arg-Trp-Lys-NH₂.

- 22. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-3-MeOApc-(D)Phe-Arg-Trp-Lys-NH₂.
- 23. The compound of claim 9, Penta-cyclo(Asp-Lys)-Asp-4-OHApc-(D)Phe-Arg-Trp-Lys-NH₂.
- 24. The compound of claim 9, Penta-cyclo(Asp-Lys)-Asp-4-ClApc-(D)Phe-Arg-Trp-Lys-NH₂.
- 25. The compound of claim 9, wherein each of R¹, R³, R⁴, R⁵, R⁸ and R¹⁰ is hydrogen;

R⁷ is NH;

R⁹ is

p is 0; and R¹⁷ is as above.

- 26. The compound of claim 25, Cyclo(succinic acid-Lys)-succinic acid-Apc-(D)Phe-Arg-Trp-Lys-NH $_2$.
- 27. The compound of claim 25, Cyclo(maleic acid-Lys)-maleic acid-Apc-(D)Phe-Arg-Trp-Lys-NH₂.
- 28. The compound of claim 25, Cyclo(succinic acid-Dpr)-succinic acid-Apc-(D)Phe-Arg-Trp-Dpr-NH₂.

- 29. The compound of claim 25, Cyclo(maleic acid-Dpr)-maleic acid-Apc-(D)Phe-Arg-Trp-Dpr-NH₂.
- 30. The compound of claim 2, wherein R^1 and R^{12} together with X and Y form a phenyl ring.
- 31. The compound of claim 30, Cyclo(phthalic acid-Lys)-phthalic acid-Apc-(D)Phe-Arg-Trp-Lys-NH₂.
- 32. The compound of claim 30, Cyclo(phthalic acid-Dpr)-phthalic acid-Apc-(D)Phe-Arg-Trp-Dpr-NH $_2$.
- 33. The compound of claim 2, Ac-Nle-cyclo(Cys-Cys)-Cys-Apc-(D)Phe-Arg-Trp-Cys-NH₂.
 - 34. A compound of the formula:

$$(CH_2)_p$$

$$CH$$

$$R^9$$

$$R^{10}$$

$$R^9$$

$$R^{10}$$

$$NH_2$$

$$R^6$$

$$R^8$$

$$R^8$$

$$NH_2$$

$$R^6$$

$$R^9$$

$$R^{10}$$

$$NH_2$$

$$R^8$$

wherein

R¹ is hydrogen,

$$R^2$$
— $(NH)_n$ — C — NH —, or O

R² is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

R¹⁴ is alkyl having from 1 to 5 carbon atoms;

n is 0 or 1;

R⁶ is hydrogen, alkyl having from 1 to 3 carbons, alkoxy having from 1 to 3 carbons, phenoxy, or halo;

R⁷ is O or NH;

R⁸ is hydrogen or methyl;

R⁹ is

$$\begin{array}{c|cccc} CH-R^{17} & CH_2 & \\ \hline C & CH_2 & \\ \hline C & Or & C \\ \hline \end{array}$$

R¹⁰ is hydrogen or methyl;

p is 0 or 1;

m is 0, 1, 2, or 3; and

Z is

and R¹⁷ is hydrogen or lower alkyl.

35. The compound of claim 34, wherein Z is

R⁷ is NH;

 R^1 is

R² is alkyl;

R⁸ and R¹⁰ are each hydrogen; and

R⁹ is

and R¹⁷ is as above.

- 36. The compound of claim 35, wherein R⁶ is hydrogen or alkyl.
- 37. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-Appc-(D)Phe-Arg-Trp-Lys-NH₂.
- 38. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-2-MeAppc-(D)Phe-Arg-Trp-Lys-NH $_2$.

- 39. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-2-iPrAppc-(D)Phe-Arg-Trp-Lys-NH₂.
- 40. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-3-MeAppc-(D)Phe-Arg-Trp-Lys-NH₂.
- 41. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-4-MeAppc-(D)Phe-Arg-Trp-Lys-NH₂.
 - 42. The compound of claim 35, wherein R^6 is halo.
- 43. The compound of claim 42, Penta-cyclo(Asp-Lys)-Asp-4-ClAppc-(D)Phe-Arg-Trp-Lys-NH $_2$.
 - 44. The compound of claim 35, wherein R⁶ is alkoxy or phenoxy.
- 45. The compound of claim 44, Penta-cyclo(Asp-Lys)-Asp-4-PhOAppc-(D)Phe-Arg-Trp-Lys-NH₂.
- 46. The compound of claim 44, Penta-cyclo (Asp-Lys)-Asp-3-MeO-Appc-(D)Phe-Arg-Trp-Lys- NH₂.
 - 47. A compound of the formula:

wherein

R¹ is hydrogen,

$$R^2$$
— $(NH)_n$ — C — NH — $;$ or

R² is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

R¹⁴ is alkyl having from 1 to 5 carbon atoms;

n is 0 or 1;

 R^{11} and R^{13} are each independently hydrogen, alkyl having 3 or 4 carbon atoms, or cycloalkyl having 5 or 6 carbon atoms or R^{11} and R^{13} are both phenyl;

R⁷ is O or NH;

R⁸ is hydrogen or methyl;

R⁹ is

R¹⁰ is hydrogen or methyl;

p is 0 or 1;

m is 0, 1, 2, or 3; and

Z is

R¹⁷ is hydrogen or lower alkyl.

48. The compound of claim 47, wherein Z is

R⁷ is NH;

 R^1 is

R² is alkyl;

R⁸ and R¹⁰ are each hydrogen; and

 R^9 is

R¹⁷ is hydrogen or lower alkyl.

- 49. The compound of claim 48, wherein one of R¹¹ and R¹³ is alkyl or cycloalkyl and the other is hydrogen.
- 50. The compound of claim 49, penta-cyclo(Asp-Lys)-Asp-Achc-(D)Phe-Arg-Trp-Lys-NH₂.
- 51. The compound of claim 49, penta-cyclo(Asp-Lys)-Asp-Abc-(D) Phe-Arg-Trp-Lys-NH₂.
 - 52. The compound of claim 48, wherein R^{11} and R^{13} are phenyl.
- 53. The compound of claim 52, penta-cyclo(Asp-Lys)-Asp-4-Adpc-(D)Phe-Arg-Trp-Lys-NH $_2$.
 - 54. A compound of the formula:

$$(CH_2)_p$$

$$CH$$

$$R_1$$

$$R_2$$

$$R_3$$

$$R_4$$

$$R_4$$

$$R_4$$

$$R_7$$

$$NH_2$$

$$R_4$$

$$R_7$$

$$NH_2$$

$$R_8$$

$$R_7$$

$$NH_2$$

$$R_8$$

wherein

R¹ is hydrogen,

R² is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

R¹⁴ is alkyl having from 1 to 5 carbon atoms;

n is 0 or 1;

one of R³, R⁴, R⁵ and R⁶ is hydrogen, halo, alkyl having from 1 to 3 carbon atoms, or alkoxy having from 1 to 3 carbon atoms, and the remainder are hydrogen;

R⁷ is O or NH;

R⁸ is hydrogen or methyl;

R⁹ is

R¹⁰ is hydrogen or methyl;

p is 0 or 1;

m is 0, 1, 2, or 3; and

Z is

R¹⁷ is hydrogen or lower alkyl.

55. The compound of claim 54, wherein Z is

R1 is

R² is alkyl;

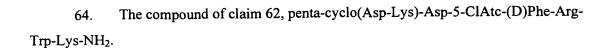
R³, R⁴, R⁵, R⁸ and R¹⁰ are each hydrogen;

 R^6 is hydrogen, halo, alkyl having from 1 to 3 carbon atoms, or alkoxy having from 1 to 3 carbon atoms; and

R⁹ is

and R¹⁷ is as above.

- 56. The compound of claim 55, wherein R⁷ is NH.
- 57. The compound of claim 56, wherein R⁶ is hydrogen or alkyl.
- 58. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-(D,L)-Atc-(D)Phe-Arg-Trp-Lys-NH₂.
- 59. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-5-Me-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH₂.
- 60. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-5-Et-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH₂.
- 61. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-5-iPr-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH₂.
 - 62. The compound of claim 52, wherein R⁶ is halo.
- 63. The compound of claim 62, penta-cyclo(Asp-Lys)-Asp-5-BrAtc-(D)Phe-Arg-Trp-Lys-NH₂.



- 65. The compound of claim 52, wherein R^6 is alkoxy.
- 66. The compound of claim 65, penta-cyclo(Asp-Lys)-Asp-5-MeO-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH₂.
- 67. The compound of claim 65, penta-cyclo(Asp-Lys)-Asp-5-EtO-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH₂.
- 68. The compound of claim 65, penta-cyclo(Asp-Lys)-Asp-5-iPrO-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH₂.
 - 69. The compound of claim 56, wherein R⁷ is O and R⁶ is halo.
- 70. The compound of claim 69, penta-cyclo(Asp-Lys)-Asp-5-BrAtc-(D)Phe-Cit-Trp-Lys-NH₂.
- 71. The compound of claim 69, penta-cyclo(Asp-Lys)-Asp-5-ClAtc-(D)Phe-Cit-Trp-Lys-NH₂.

$$R^2$$
— $(NH)_n$ — C — NH —, or O

R³, R⁴, R⁵, R⁸ and R¹⁰ are hydrogen;

R⁶ is hydrogen or halo;

R⁷ is NH;

R⁹ is

wherein R¹⁷ is as above.

- 73. The compound of claim 72, Ac-Nle-cyclo(Cys-Cys)-Cys-(D,L)Atc-(D)Phe-Arg-Trp-Cys-NH₂.
- 74. The compound of claim 72, penta-cyclo(Cys-Cys)-Cys-5-Br(D,L)Atc-(D)Phe-Arg-Trp-Cys-NH₂.
 - 75. A compound, penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Ala-Trp-Lys-NH₂.
- 76. A compound, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-(2S,3S) beta methyl-Trp-Lys-NH₂.

* * * *